

WEST Search History

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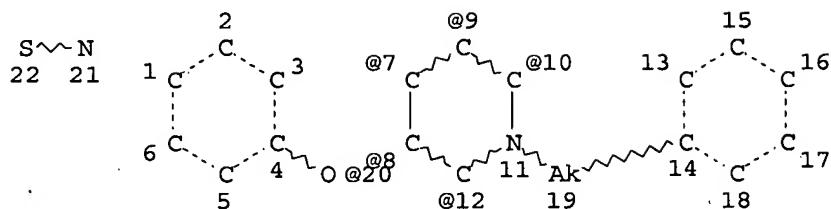
DATE: Wednesday, July 18, 2007

<u>Hide?</u>	<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>
<i>DB=USPT; PLUR=YES; OP=ADJ</i>			
<input type="checkbox"/>	L2	L1 and (aryl adj5 sulfonamid\$5)	14
<input type="checkbox"/>	L1	(514/317.ccls. or 514/319.ccls. or 546/205.ccls. or 546/216.ccls.) and sulfonamid\$5	227

END OF SEARCH HISTORY

L1 HAS NO ANSWERS

L1 STR



VPA 20-12/8/7/9/10 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

=> still full

FULL SEARCH INITIATED 16:30:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 289303 TO ITERATE

100.0% PROCESSED 289303 ITERATIONS

53 ANSWERS

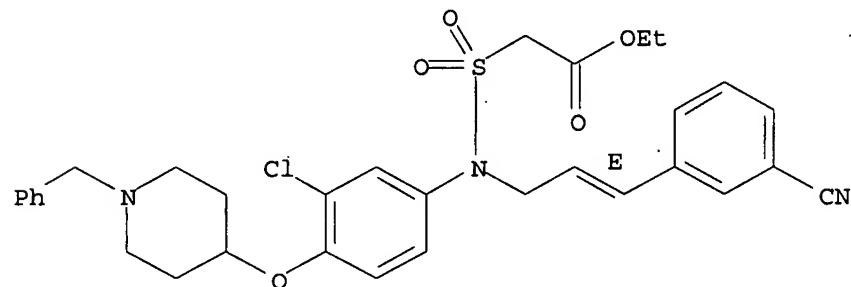
SEARCH TIME: 00.00.04

L3 53 SEA SSS FUL L1

=> d scan

L3 53 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-
piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-
, ethyl ester (9CI)
MF C32 H34 Cl N3 O5 S

Double bond geometry as shown.



*** PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT ***

=> s 13

L4 13 L3

=> d bib abs hitstr 1-13

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:174303 CAPLUS

DN 146:251838

TI Preparation of therapeutic agents for diabetes

IN Abe, Hidenori; Wakabayashi, Takeshi; Rikimaru, Kentarou

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 509pp.

CODEN: PIXXD2

DT Patent

LA English

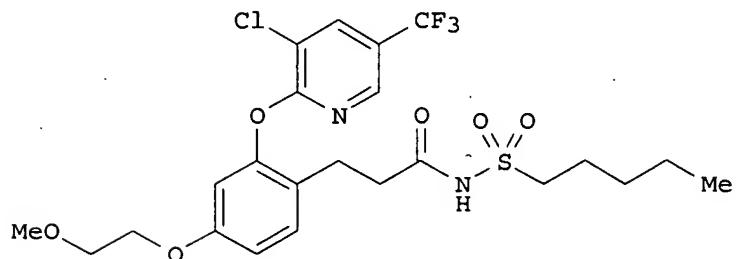
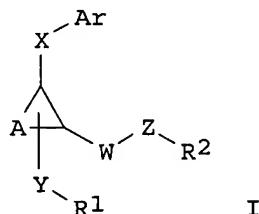
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007018314	A2	20070215	WO 2006-JP316068	20060809
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PRAI JP 2005-232646 A 20050810

OS MARPAT 146:251838

GI



AB The invention provides an agent for the prophylaxis or treatment of diabetes, which is associated with fewer side effects such as body weight gain, adipocyte accumulation, cardiac hypertrophy and the like, and which

contains a compound I [A = (un)substituted aryl; Ar = (un)substituted monocyclyl; R1 = (un)substituted hydrocarbyl, heterocyclyl; R2 = H, (un)substituted hydrocarbyl, heterocyclyl; X = spacer having a main chain of 1-2 atoms; Y = a bond or a spacer having a main chain of 1-2 atoms; W = (un)substituted divalent hydrocarbon group; Z = CONHSO₂ and derivs., SO₂NHCO and derivs., OCONH and derivs., etc.], or a salt thereof or a prodrug thereof. Preparation of antidiabetic agents I is described. Thus, O-heteroarylation of Et 3-[2-hydroxy-4-(2-methoxyethoxy)phenyl]propanoate (preparation given) with 2,3-dichloro-5-(trifluoromethyl)pyridine, saponification and

reaction of the acid with pentane-1-sulfonamide gave N-sulfonyl amide II. Selected I displayed a hypoglycemic and hypolipidemic action. II exhibited PPAR γ -PPAR α heterodimer ligand activity.

IT 926300-56-3P, (2E)-3-[2-[(1-Benzoylpiperidin-4-yl)oxy]-4-(2-methoxyethoxy)phenyl]-N-(pentylsulfonyl)-2-propenamide

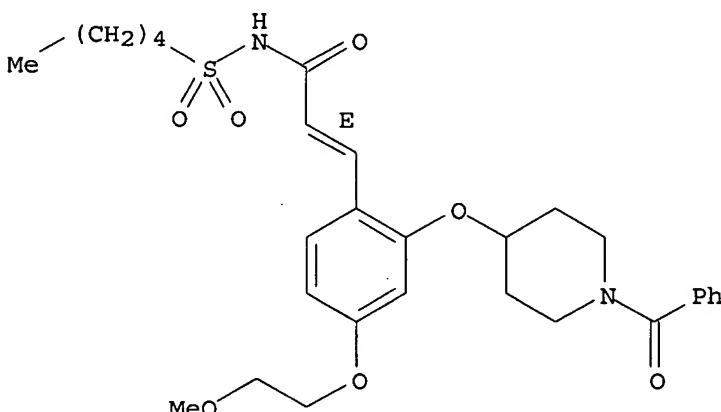
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of therapeutic agents for diabetes)

RN 926300-56-3 CAPPLUS

CN 2-Propenamide, 3-[2-[(1-benzoyl-4-piperidinyl)oxy]-4-(2-methoxyethoxy)phenyl]-N-(pentylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 2 OF 13 CAPPLUS COPYRIGHT 2007 ACS on STN

AN 2007:11285 CAPPLUS

DN 146:121845

TI Preparation of piperidinyl substituted isoquinoline derivatives as inhibitors of Rho-kinase

IN Plettenburg, Oliver; Hofmeister, Armin; Kadereit, Dieter; Peukert, Stefan; Ruf, Sven; Ritter, Kurt; Loehn, Matthias; Ivashchenko, Yuri; Monecke, Peter; Dreyer, Matthias; Kannt, Aimo

PA Sanofi-Aventis Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 172pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007000240	A1	20070104	WO 2006-EP5648	20060613
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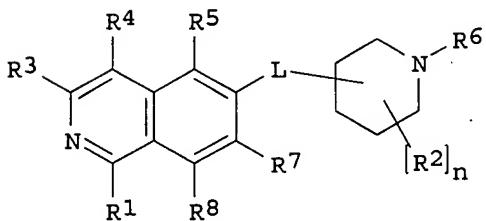
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

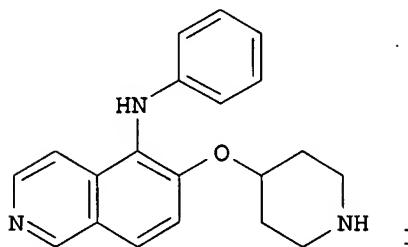
PRAI EP 2005-13868 A 20050628

OS MARPAT 146:121845

GI



I



II

AB The title compds. I [R1 = H, alkyl, NH(alkyl), N(alkyl)2, etc.; R2 = H, halo, alkyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, OH, etc.; R5 = H, halo, CN, etc.; R6 = H, alkyl, alkylenealkoxy, etc.; R7 = H, halo, CN, etc.; R8 = H, halo, alkyl; n = 1-4; L = O, O-alkylene], useful for the treatment and/or prevention of diseases associated with Rho-kinase and/or Rho-kinase mediated phosphorylation of myosin light chain phosphatase, were prepared E.g., a multi-step synthesis of II.TFA, starting from 6-hydroxyisoquinoline, was given. Compds. I were tested for Rho-kinase inhibition (data were given for representative compds. I).

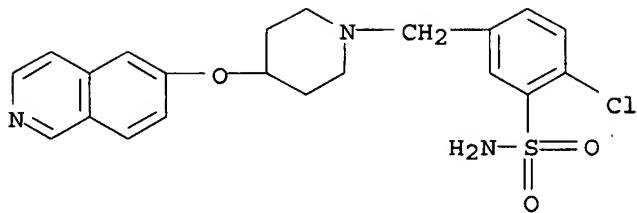
IT 918490-71-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinyl-substituted isoquinoline derivs. as RHO-kinase inhibitors useful in treatment and prevention of diseases)

RN 918490-71-8 CAPLUS

CN Benzenesulfonamide, 2-chloro-5-[[4-(6-isoquinolinylloxy)-1-piperidinyl]methyl]- (CA INDEX NAME)



IT 918490-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyl-substituted isoquinoline derivs. as RHO-kinase inhibitors useful in treatment and prevention of diseases)

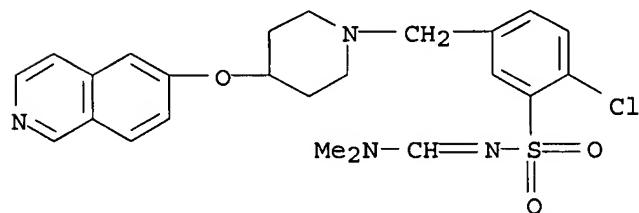
RN 918490-70-7 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-[(dimethylamino)methylene]-5-[[4-(6-isoquinolinyloxy)-1-piperidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918490-69-4

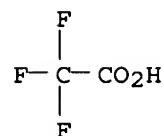
CMF C24 H27 Cl N4 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:365367 CAPLUS

DN 144:404358

TI Mutgenesis of HERG ion channel to determine its specific interactions with drugs to design safer and more selective therapeutics

IN Dougherty, Dennis A.; Lester, Henry A.; Nowak, Mark W.

PA Neurion Pharmaceuticals, Inc., USA

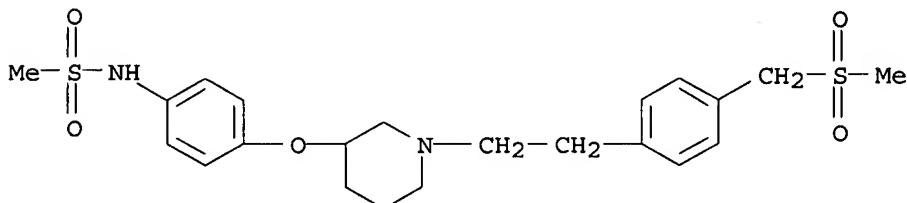
SO U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 957,116.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

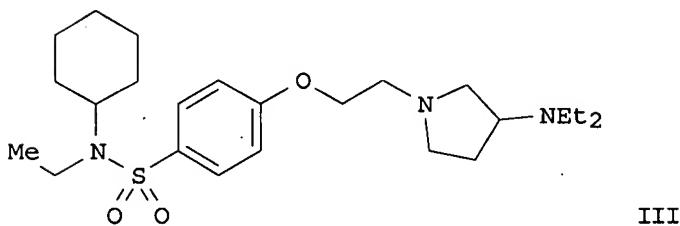
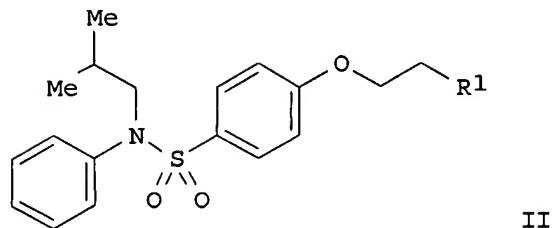
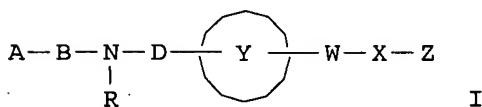
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006084102	A1	20060420	US 2005-242822	20051003
	US 2004180401	A1	20040916	US 2003-444058	20030523
	US 2006014159	A1	20060119	US 2004-957116	20041001
PRAI	US 2002-382571P	P	20020524		
	US 2003-454338P	P	20030314		
	US 2003-444058	A2	20030523		
	US 2004-615173P	P	20041001		
	US 2004-957116	A2	20041001		
AB	The present invention provides a method of obtaining highly precise binding and interaction information of ligands or drugs with the HERG ion channel by mutagenesis of critical sites within the transmembrane domains of the ion channel. The information elucidated from these novel expts. allow predictive identification of binding mols. or drugs that contribute to or cause undesirable HERG activity as well as ones that alleviate such activity. Unexpected HERG activity, i.e. nonspecific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The present invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity. Another aspect of the invention is to provide a HERG screening assay system comprising a HERG channel which has been modified to replace native amino acids, wherein the channel is expressed in vivo in Xenopus oocytes or mammalian cells. The present invention will not only provide information on whether a compound binds to HERG, but also details both the method and specific location of binding. Through high-precision compound modifications, the present invention will enable the identification and continued development of drug classes that would otherwise be dropped because of HERG activity, or make compds. to block and reduce the HERG activity of other compds. as adjuvants. Unwanted drug side effects may include cardiac arrhythmia, ventricular fibrillation, QT interval prolongation and sudden death.				
IT	873429-73-3				
	RL: BSU (Biological study, unclassified); BIOL (Biological study) (binding with the HERG channel; mutagenesis of HERG ion channel to determine its specific interactions with drugs to design safer and more selective therapeutics)				
RN	873429-73-3	CAPLUS			
CN	Methanesulfonamide, N-[4-[[1-[2-[4-[(methylsulfonyl)methyl]phenyl]ethyl]-3-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)				



L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:342829 CAPLUS
 DN 144:390559
 TI Preparation of benzenesulfonamide compounds as N-type calcium channel inhibitors
 IN Ohtani, Tazumi; Kambe, Tohru; Kobayashi, Kaoru; Takimizu, Hideyuki; Ito, Yoko
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 196 pp.
 CODEN: PIXXD2

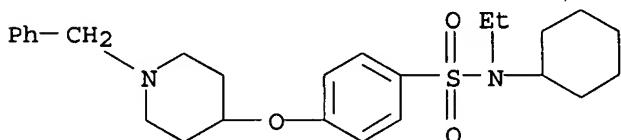
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006038594	A1	20060413	WO 2005-JP18306	20051003
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PRAI	JP 2004-290916	A	20041004		
OS	MARPAT 144:390559				
GI					

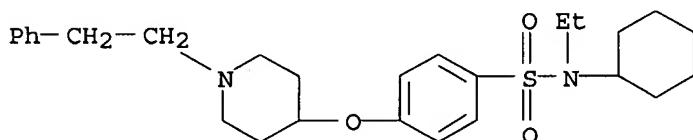


AB Title compds. I [ring Y = (un)substituted cycle; A, R = H, (un)substituted chain hydrocarbon group, (un)substituted cycle; B, D = bond, spacer; W = O, (un)substituted N, (un)substituted -CH₂-, etc.; X = bond, spacer, (un)substituted cycle; Z = (un)protected hydroxy, (un)protected amino, (un)substituted cycle; further details on A, R, W, X, and Z are given.] were prepared For example, reaction of compound II [R₁ = Br], e.g., prepared from p-methoxybenzenesulfonyl chloride in 4 steps, with diethylamine afforded compound II [R₁ = diethylamino]. In N-type calcium channel inhibition assays, compound III·2HCl inhibited N-type calcium channel current by 82% at 1 μM. Compound I are claimed useful for the treatment

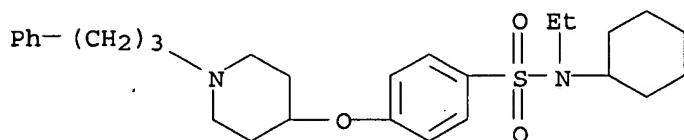
of pain, asthma, etc.
 IT 882851-43-6P 882851-58-3P 882851-59-4P
 882851-63-0P 882851-64-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of benzenesulfonamide compds. as N-type calcium channel
 inhibitors for treatment of pain, asthma, etc.)
 RN 882851-43-6 CAPLUS
 CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(phenylmethyl)-4-
 piperidinyl]oxy]- (9CI) (CA INDEX NAME)



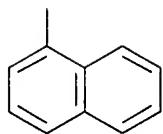
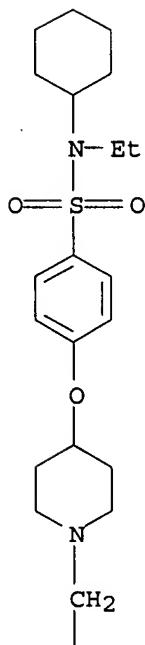
RN 882851-58-3 CAPLUS
 CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(2-phenylethyl)-4-
 piperidinyl]oxy]- (9CI) (CA INDEX NAME)



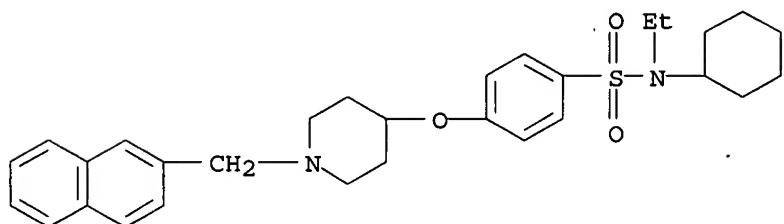
RN 882851-59-4 CAPLUS
 CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(3-phenylpropyl)-4-
 piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 882851-63-0 CAPLUS
 CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(1-naphthalenylmethyl)-4-
 piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 882851-64-1 CAPLUS
 CN Benzenesulfonamide, N-cyclohexyl-N-ethyl-4-[[1-(2-naphthalenylmethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

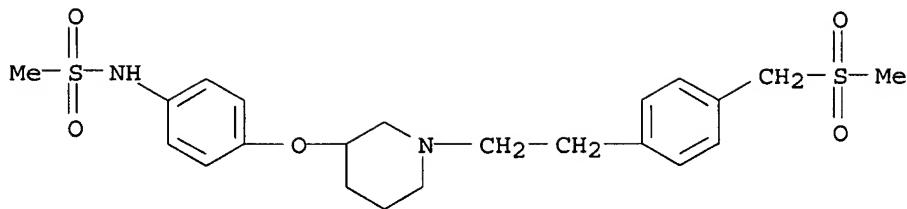
L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:50969 CAPLUS
 DN 144:124554
 TI Methods of determining precise HERG ion channel interactions based on incorporation of unnatural amino acids
 IN Dougherty, Dennis A.; Lester, Henry A.; Lasch, Jonathan G.; Nowak, Mark W.
 PA Neurion Pharmaceuticals, Inc., USA
 SO U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 444,058.

CODEN: USXXCO

DT Patent
LA English

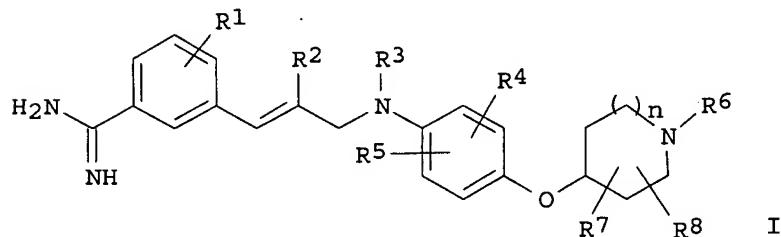
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006014159	A1	20060119	US 2004-957116	20041001
	US 2004180401	A1	20040916	US 2003-444058	20030523
	WO 2006039717	A2	20060413	WO 2005-US35871	20051003
	WO 2006039717	A3	20060713		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006084102	A1	20060420	US 2005-242822	20051003
PRAI	US 2002-382571P	P	20020524		
	US 2003-454338P	P	20030314		
	US 2003-444058	A2	20030523		
	US 2004-615173P	P	20041001		
	US 2004-957116	A	20041001		
AB	The present invention provides a method of obtaining highly precise binding and interaction information of ligands or drugs with the HERG ion channel by utilizing incorporation of unnatural amino acids at critical sites within the transmembrane domains of the ion channel. The information elucidated from these novel expts. allow predictive identification of binding mols. or drugs that contribute to or cause undesirable HERG activity as well as ones that alleviate such activity. Unexpected HERG activity, i.e. nonspecific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The present invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity. Another aspect of the invention is to provide a HERG screening assay system comprising a HERG channel which has been modified to replace native amino acids with unnatural amino acids, wherein the channel is expressed in vivo in Xenopus oocytes. The present invention will not only provide information on whether a compound binds to HERG, but also details both the method and specific location of binding. Through high-precision compound modifications, the present invention will enable the identification and continued development of drug classes that would otherwise be dropped because of HERG activity, or make compds. to block and reduce the HERG activity of other compds. as adjuvants.				
IT	873429-73-3				
	RL: BSU (Biological study, unclassified); BIOL (Biological study) (binding with the HERG channel; methods of determining precise HERG ion channel interactions based on incorporation of unnatural amino acids)				
RN	873429-73-3 CAPLUS				
CN	Methanesulfonamide, N-[4-[[1-[2-[4-[(methylsulfonyl)methyl]phenyl]ethyl]-3-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)				



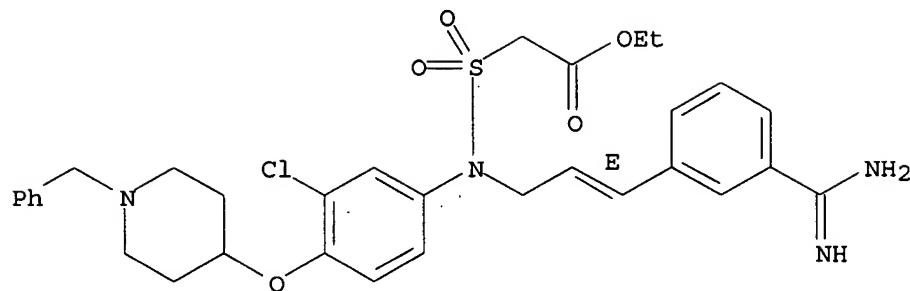
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:411619 CAPLUS
 DN 140:400071
 TI Blood-coagulation factor Xa inhibitors for prophylactic or therapeutic treatment of cerebral or myocardial infarction and peripheral circulation disorder
 IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi
 PA Sankyo Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 189 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004143164	A	20040520	JP 2003-345161	20031003
PRAI JP 2002-290838	A	20021003		
OS MARPAT 140:400071				
GI				



AB Title inhibitors contain benzamidines I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo; R3 = H, C1-6 alkyl, C2-7 carboxyalkyl, C1-6 alkylsulfonyl, etc.; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, alkylcarbamoyl, etc.; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, C7-16 aralkyl, C6-10 aryl, heterocyclyl, etc.; R7, R8 = H, C1-6 alkyl; R6R7 or R7R8 may be bonded to form C2-5 alkylene; n = 0-2], their pharmacol. acceptable salts, or their prodrugs. Thus, N-[3-(3-amidinophenyl)-2(E)-propenyl]-N-[3-chloro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid 2HCl salt inhibited factor Xa with IC50 value of 10 nM.
 IT 470475-87-7P 470475-88-8P 470475-89-9P
 470475-90-2P 470476-29-0P 470476-30-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)
 RN 470475-87-7 CAPLUS
 CN Acetic acid, [[[2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

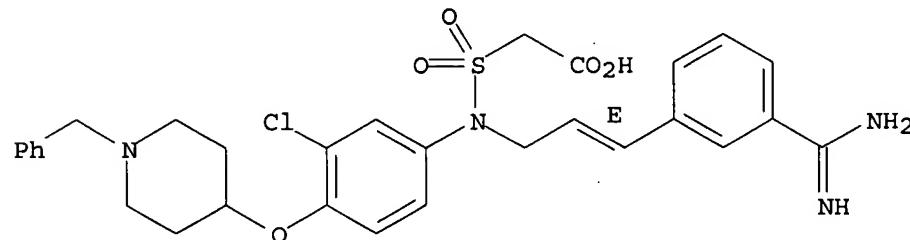


●2 HCl

RN 470475-88-8 CAPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl] [3-chloro-4-[(1-(phenylmethyl)-4-piperidinyl)oxy]phenyl]amino]sulfonyl] -, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

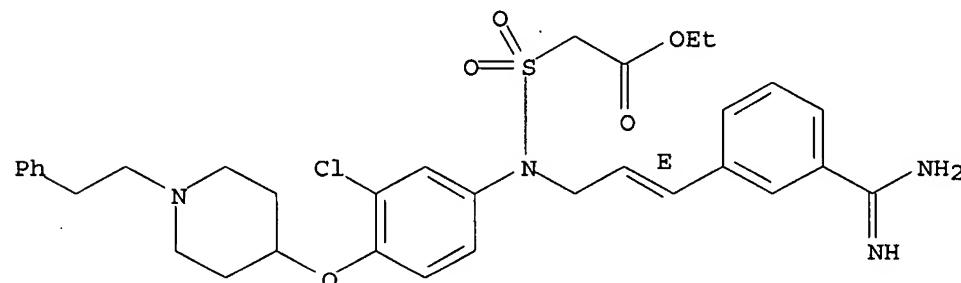


●2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl] [3-chloro-4-[(1-(2-phenylethyl)-4-piperidinyl)oxy]phenyl]amino]sulfonyl] -, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

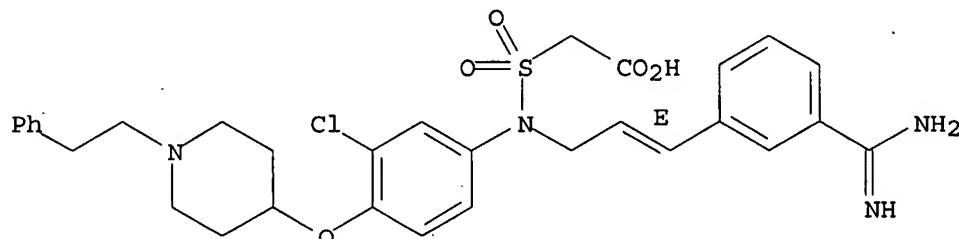
Double bond geometry as shown.



●2 HCl

RN 470475-90-2 CAPLUS
CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

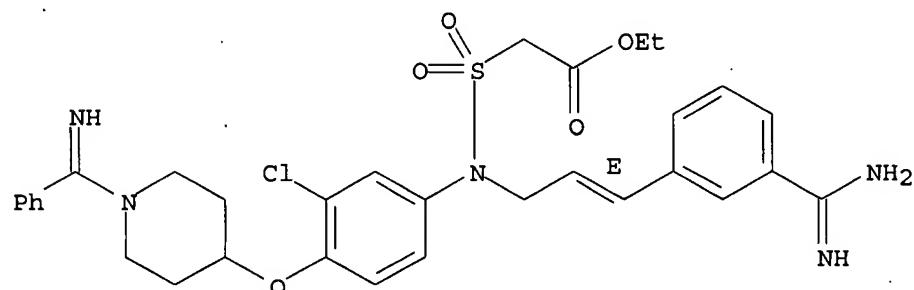
Double bond geometry as shown.



● 2 HCl

RN 470476-29-0 CAPLUS
CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

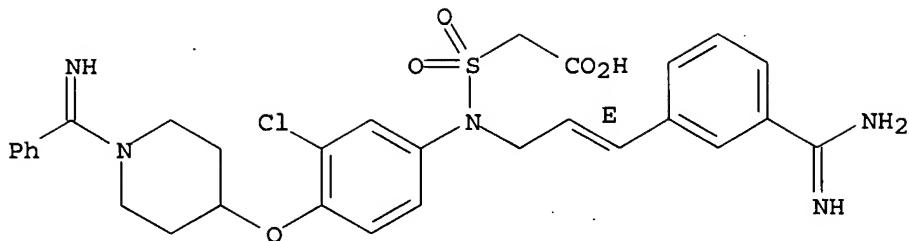
Double bond geometry as shown.



● 2 HCl

RN 470476-30-3 CAPLUS
CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



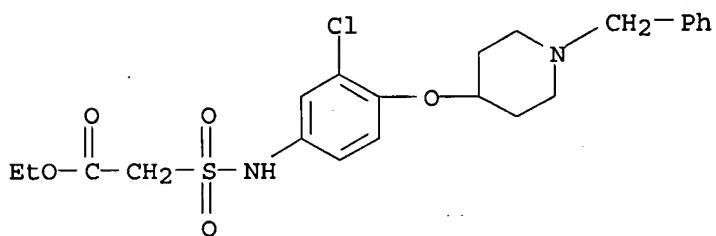
●2 HCl

IT 470477-10-2P 470477-11-3P 470477-14-6P
 470477-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)

RN 470477-10-2 CAPLUS

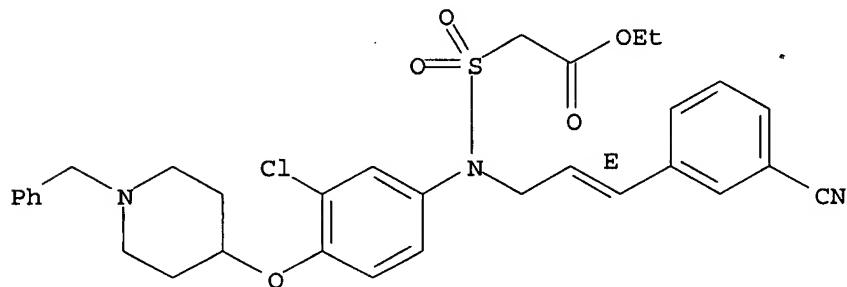
CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 470477-11-3 CAPLUS

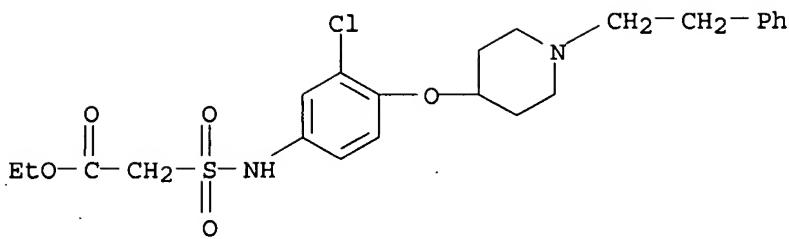
CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 470477-14-6 CAPLUS

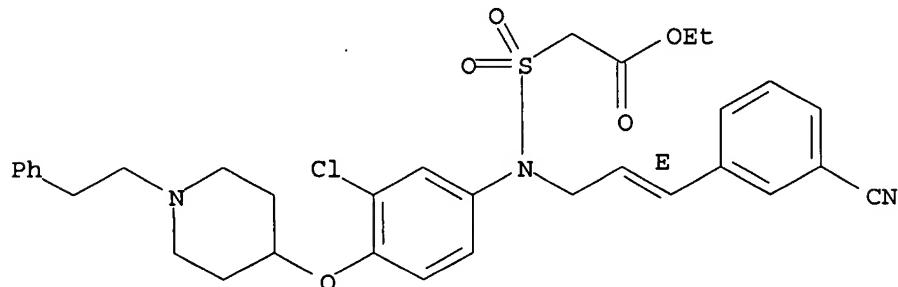
CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 470477-15-7 CAPLUS

CN Acetic acid, [[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:951210 CAPLUS

DN 140:13006

TI Methods of determining precise HERG potassium channel interactions and altering compounds based on the interactions

IN Dougherty, Dennis A.; Lester, Henry A.; Lasch, Jonathon G.

PA Neurion Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003100082	A2	20031204	WO 2003-US16426	20030523
	WO 2003100082	A8	20040401		
	WO 2003100082	A3	20060518		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2486193	A1	20031204	CA 2003-2486193	20030523
	AU 2003248571	A1	20031212	AU 2003-248571	20030523
	EP 1578992	A2	20050928	EP 2003-755475	20030523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006509495	T	20060323	JP 2004-507522	20030523
	IN 2004KN01488	A	20060721	IN 2004-KN1488	20041006

PRAI US 2002-382571P P 20020524
US 2003-454338P P 20030314
WO 2003-US16426 W 20030523

OS MARPAT 140:13006

AB The invention discloses methods of determining highly precise interactions between the HERG (human ether-a-go-go-related gene) potassium channel and various compds. The methods of the invention use nonsense codon suppression methods combined with heterologous *in vivo* expression in *Xenopus* oocytes. Unexpected HERG activity, i.e. non-specific modulatory effects, limits the efficacy of many drugs, and can even cause dangerous side effects. The invention also relates to methods for the discovery and design of safer and more selective compds. without unexpected HERG activity.

IT 167859-05-4

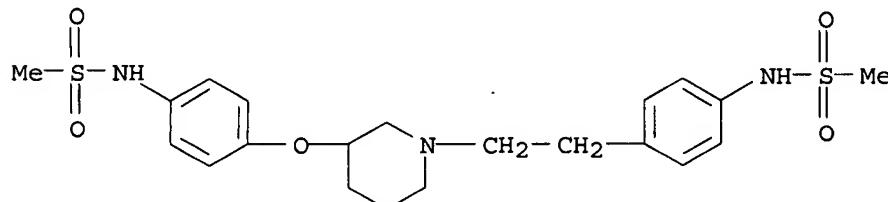
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HERG potassium channel interaction determination and altering compds.

based on

interaction)

RN 167859-05-4 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl] - (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:570958 CAPLUS

DN 139:133471

TI Preparation of N-[(piperidinyloxy)phenyl]sulfonamides as nicotinic and muscarinic acetylcholine effectors

IN Hoelzemann, Guenter; Pruecher, Helmut; Schiemann, Kai; Leibrock, Joachim; Greiner, Hartmut; Burger, Christa; Von Melchner, Laurie

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

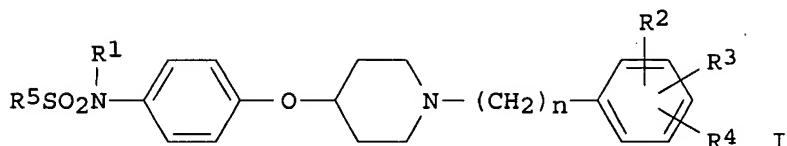
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003059882	A1	20030724	WO 2002-EP14389	20021217
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10201550	A1	20030731	DE 2002-10201550	20020117
	CA 2473409	A1	20030724	CA 2002-2473409	20021217
	AU 2002358735	A1	20030730	AU 2002-358735	20021217
	EP 1465868	A1	20041013	EP 2002-793045	20021217

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 CN 1615297 A 20050511 CN 2002-827254 20021217
 JP 2005514457 T 20050519 JP 2003-559986 20021217
 US 2005131021 A1 20050616 US 2003-501763 20021217
 HU 200500497 A2 20050829 HU 2005-497 20021217
 ZA 2004006504 A 20050915 ZA 2004-6504 20040816
 PRAI DE 2002-10201550 A 20020117
 WO 2002-EP14389 W 20021217
 OS MARPAT 139:133471
 GI



AB Title compds. [I; R1 = H, (fluorinated) (S-, O-interrupted) alkyl, alkenyl; R2-R4 = H, (fluorinated) (S-, O-interrupted) alkyl, alkenyl, OH, OMe, OCF3, halo, cyano, CO2R1, CONR1, NO2; R5 = (fluorinated) (S-, O-interrupted) alkyl, alkenyl, (substituted) Ph, naphthyl, biphenyl, arylalkyl; n = 0-10], were prep'd as nicotinic and muscarinic acetylcholine effectors (no data). Thus, 4-(1-benzylpiperidin-4-yloxy)phenylamine (preparation given) and phenylmethylsulfonyl chloride in DMF were treated with Et3N followed by stirring for 14 h at room temperature to give N-[4-(1-benzylpiperidin-4-yloxy)phenyl]phenylmethanesulfonamide. Said compds. are suitable for the prophylaxis or treatment of schizophrenia, depression, panic attacks, dementia, Alzheimer's disease, Lewy body dementia, neurodegenerative diseases, Parkinson's disease, Huntington's chorea, Tourette's syndrome, learning limitations and memory loss, senile amnesia, for relieving withdrawal symptoms in nicotine dependency, or for the prophylaxis or treatment of cerebral apoplexy or cerebral damage caused by toxic compds.

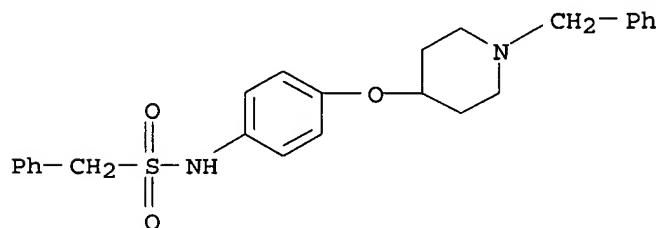
IT 565418-43-1P 565418-44-2P, N-[4-(1-Benzylpiperidin-4-yloxy)phenyl]benzenesulfonamide 565418-45-3P
 565418-46-4P 565418-47-5P 565418-48-6P
 565418-49-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(piperidinyloxy)phenyl]sulfonamides as nicotinic and muscarinic acetylcholine effectors)

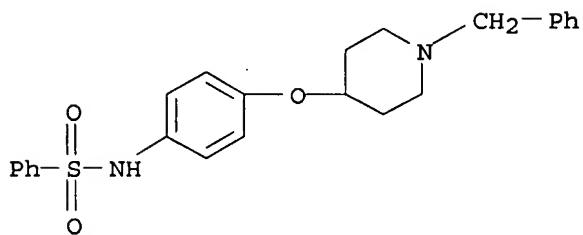
RN 565418-43-1 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



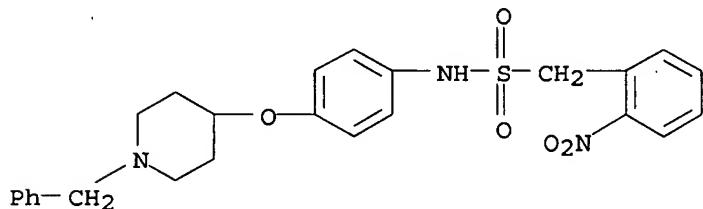
RN 565418-44-2 CAPLUS

CN Benzenesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]-
(9CI) (CA INDEX NAME)



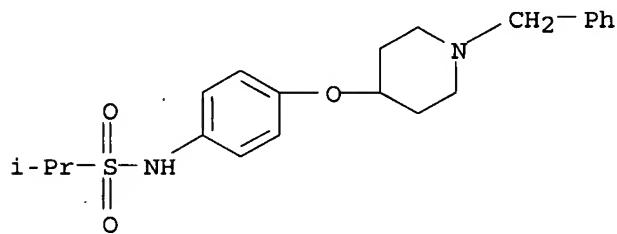
RN 565418-45-3 CAPLUS

CN Benzenemethanesulfonamide, 2-nitro-N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



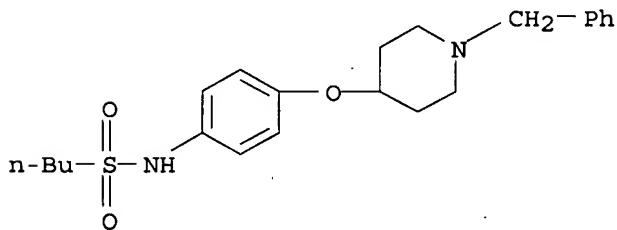
RN 565418-46-4 CAPLUS

CN 2-Propanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]-
(9CI) (CA INDEX NAME)



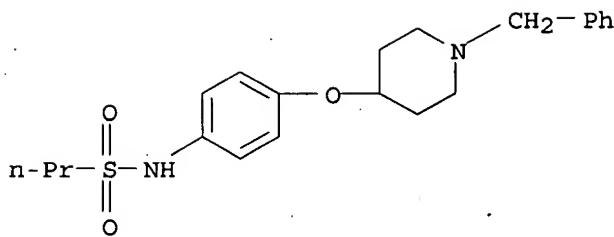
RN 565418-47-5 CAPLUS

CN 1-Butanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]-
(9CI) (CA INDEX NAME)

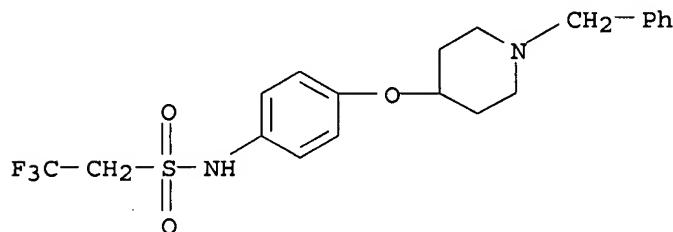


RN 565418-48-6 CAPLUS

CN 1-Propanesulfonamide, N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]-
(9CI) (CA INDEX NAME)



RN 565418-49-7 CAPLUS
 CN Ethanesulfonamide, 2,2,2-trifluoro-N-[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

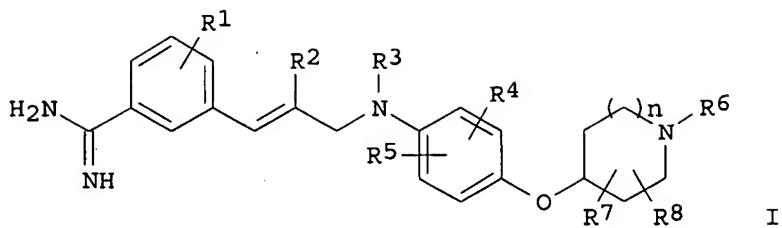


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:868737 CAPLUS
 DN 137:369982
 TI Preparation of 2-[3-[4-(4-piperidinyloxy)anilino]-1-propenyl]benzamidine derivatives and composition containing them for iontophoresis
 IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi; Inoue, Kazuhiro; Okada, Junichi
 PA Sankyo Company, Limited, Japan
 SO PCT Int. Appl., 400 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002089803	A1	20021114	WO 2002-JP4422	20020507
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002253683	A1	20021118	AU 2002-253683	20020507
	JP 2003040773	A	20030213	JP 2002-131052	20020507
PRAI	JP 2001-136159	A	20010507		
	WO 2002-JP4422	W	20020507		
OS	MARPAT 137:369982				
GI					



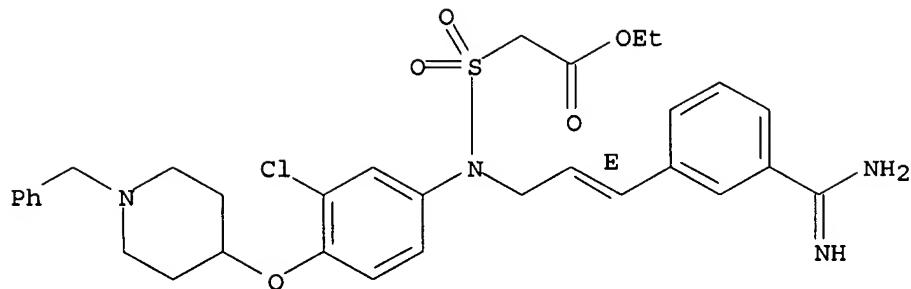
AB An iontophoresis composition for blood clotting factor X inhibitors which contains either a benzamidine derivative having the general formula (I) [wherein R1 = H, halo, alkyl, HO; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C1-6 hydroxyalkyl, C2-7 carboxyalkyl, C3-13 alkoxy carbonylalkyl, C7-16 aralkyl, C2-7 aliphatic acyl, C2-7 hydroxy-aliphatic acyl, C1-6 alkylsulfonyl, C3-13 alkoxy carbonylalkylsulfonyl, C2-7 carboxyalkylsulfonyl, C3-8 carboxyalkyl carbonyl; R4, R5 = H, halo, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, CO2H, C2-7 alkoxy carbonyl, CONH2, C2-7 monoalkyl or C3-13 dialkyl carbamoyl; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, C7-16 aralkyl, heterocyclyl-C1-6 alkyl, C2-7 carboxyalkyl, C3-13 alkoxy carbonylalkyl, C2-7 aliphatic acyl, C7-11 aromatic acyl, CONH2, C1-6 alkylsulfonyl, C6-10 aryl, heterocyclyl, formimidoyl, C2-7 1-iminoalkyl, C2-7 N-alkylformimidoyl, C7-11 iminoaryl methyl; R7, R8 = H, C1-6 alkyl; or R6 and R7 or R7 and R8 together represent C2-5 alkylene; n = 0, 1, 2] or a pharmacol. acceptable salt of the derivative is disclosed. The compds. I are readily absorbed through skin and useful as remedies or preventives for thrombus or embolus by iontophoresis. Thus, 0.39 g Et acetimidate hydrochloride and 0.87 mL Et3N were added to a solution of [N-[(E)-3-(3-amidinophenyl)-2-methyl-2-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]sulfamoyl]acetic acid Et ester in 20 mL ethanol and stirred at room temperature for 6 h to give 75% [N-[4-((1-acetimidoylpiperidin-4-yl)oxy)-3-carbamoyl-N-[(E)-3-(3-amidinophenyl)-2-methyl-2-propenyl]phenyl]sulfamoyl]acetic acid Et ester dihydrochloride which (0.64 g) was dissolved in 20 mL 3 N aqueous HCl and heated at 80° for 2 h to give [N-[4-((1-acetimidoylpiperidin-4-yl)oxy)-3-carbamoylphenyl]-N-[(E)-3-(3-amidinophenyl)-2-methyl-2-propenyl]sulfamoyl]acetic acid dihydrochloride (II). II in vitro exhibited an iontophoresis skin permeability (flux) of 90±7 µg/h/cm2 using a hairless mice skin at skin current of 100 µA/cm2. The 15 compds. I exhibited higher skin permeability compared to two reference compds. 470475-87-7P 470475-89-9P 470476-29-0P

IT RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis)

RN 470475-87-7 CAPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl] [3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

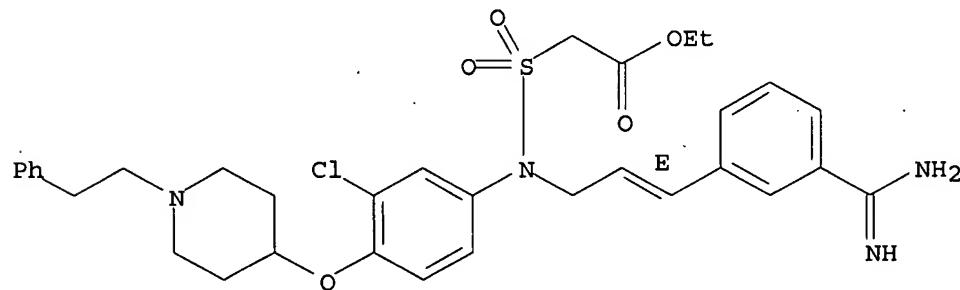


● 2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

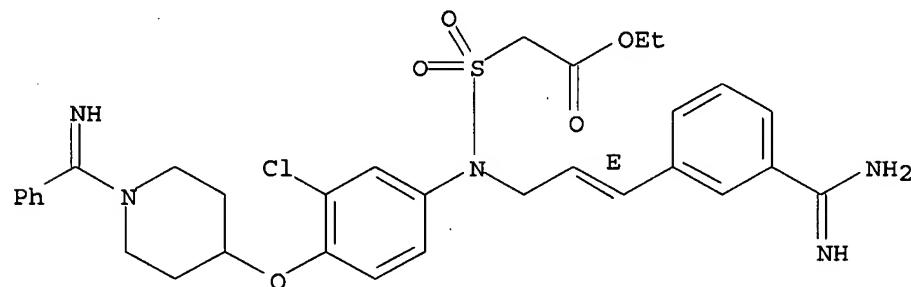


● 2 HCl

RN 470476-29-0 CAPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 HCl

IT 470475-88-8P 470475-90-2P 470476-30-3P

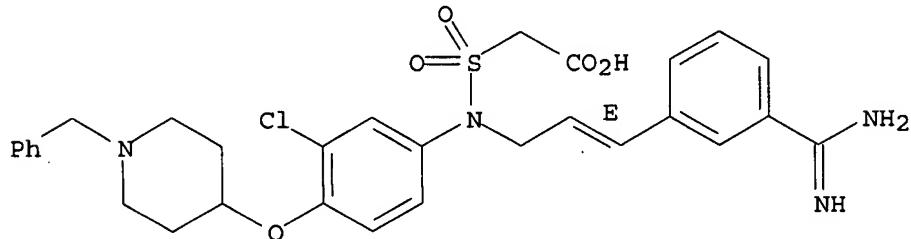
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis)

RN 470475-88-8 CAPPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

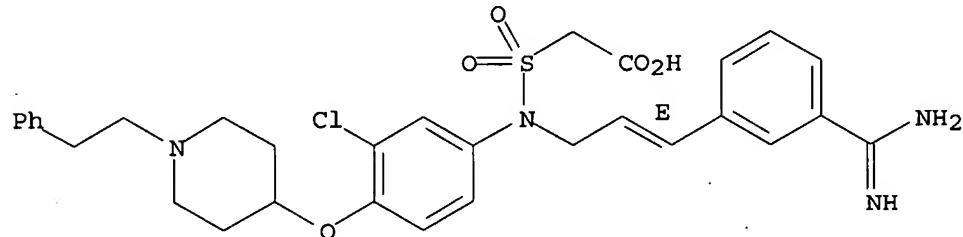


●2 HCl

RN 470475-90-2 CAPPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

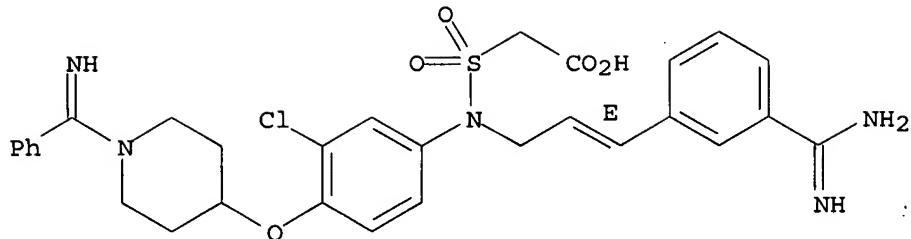


●2 HCl

RN 470476-30-3 CAPPLUS

CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

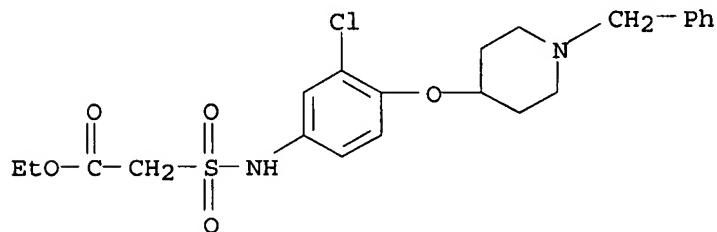


● 2 HCl

IT 470477-10-2P, [N-[4-(1-Benzylpiperidin-4-yl)oxy]-3-chlorophenyl]sulfamoyl acetic acid ethyl ester 470477-11-3P
 470477-14-6P, [N-[3-Chloro-4-(1-phenethylpiperidin-4-yl)oxy]phenyl]sulfamoyl acetic acid ethyl ester 470477-15-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of [(piperidinyloxy)anilino]propenyl]benzamidine derivs. as blood clotting factor X inhibitors for treatment of thrombus and embolus by iontophoresis)

RN 470477-10-2 CAPLUS

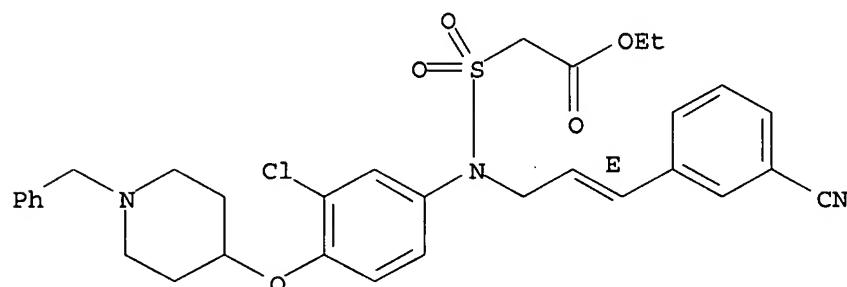
CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 470477-11-3 CAPLUS

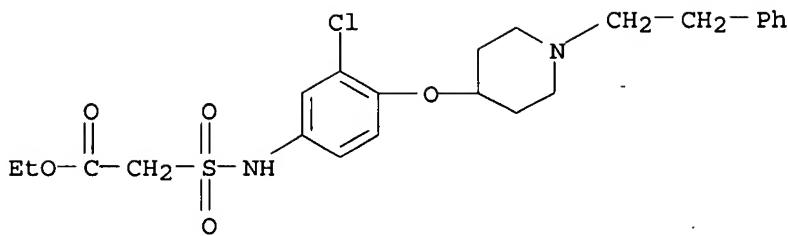
CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 470477-14-6 CAPLUS

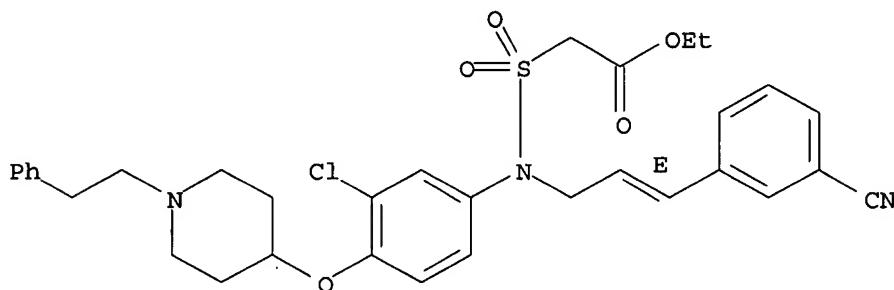
CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 470477-15-7 CAPLUS

CN Acetic acid, [[3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:793603 CAPLUS

DN 137:310926

TI Preparation of benzamidine derivatives as inhibitors of activated blood coagulation factor X

IN Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai, Fumitoshi

PA Sankyo Company, Limited, Japan

SO PCT Int. Appl., 314 pp.

CODEN: PIXXD2

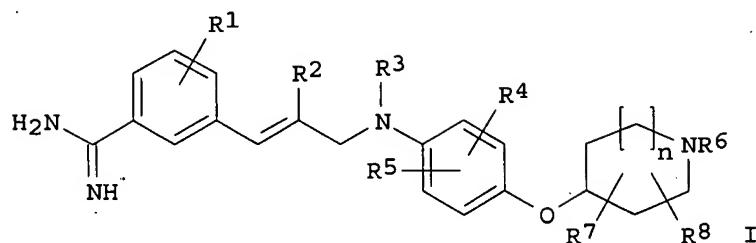
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002081448	A1	20021017	WO 2002-JP3355	20020403
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2442904	A1	20021017	CA 2002-2442904	20020403
	AU 2002246336	A1	20021021	AU 2002-246336	20020403
	EP 1375482	A1	20040102	EP 2002-714444	20020403
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002008678	A	20040330	BR 2002-8678	20020403
	NZ 528517	A	20040827	NZ 2002-528517	20020403

HU 200400384	A2	20040928	HU 2004-384	20020403
CN 1610666	A	20050427	CN 2002-811105	20020403
RU 2256652	C1	20050720	RU 2003-129502	20020403
JP 2002363159	A	20021218	JP 2002-102486	20020404
IN 2003KN01213	A	20050930	IN 2003-KN1213	20030922
ZA 2003007646	A	20040713	ZA 2003-7646	20030930
NO 2003004439	A	20031202	NO 2003-4439	20031003
MX 2003PA09097	A	20040212	MX 2003-PA9097	20031003
US 2004147555	A1	20040729	US 2003-679215	20031003
US 7030138	B2	20060418		
PRAI JP 2001-107615	A	20010405		
WO 2002-JP3355	W	20020403		
OS MARPAT 137:310926				
GI				



AB The title compds. I [R1 represents a hydrogen atom, a halogen atom, an alkyl group or a hydroxyl group, R2 represents a hydrogen atom or a halogen atom, R3 represents a hydrogen atom, an alkyl group optionally substituted, an aralkyl group, an alkylcarbonyl group optionally substituted, an alkylsulfonyl group optionally substituted, or the like, R4 and R5 each represent a hydrogen atom, a halogen atom, an alkyl or carbamoyl group optionally substituted, or the like, R6 represents a hetero-ring or the like, R7 and R8 each represent a hydrogen atom, an alkyl group, or the like, and n represents 0,1 or 2] are prepared I are useful in the therapy or prevention of blood coagulation diseases. Compds. of this invention in vitro showed IC50 values of 5.8 nM to 15 nM against factor Xa. Formulations are given.

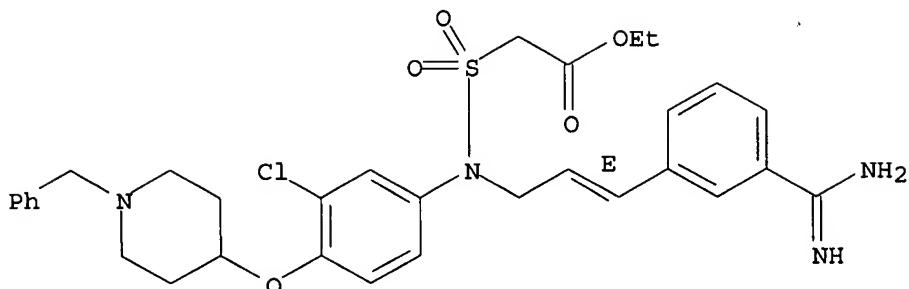
IT 470475-87-7P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-benzylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470475-88-8P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-benzylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid dihydrochloride 470475-89-9P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470475-90-2P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride 470476-29-0P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-iminophenylmethylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride 470476-30-3P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-iminophenylmethylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid dihydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor X)

RN 470475-87-7 CAPLUS
 CN Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

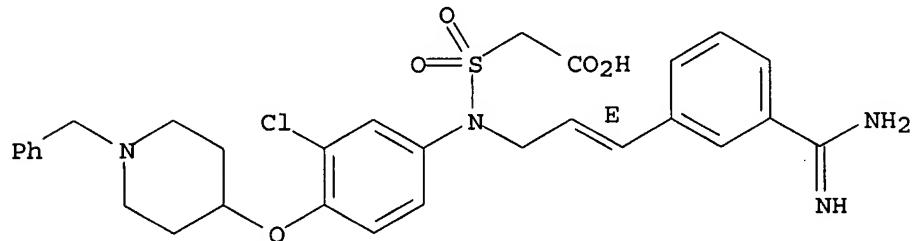


● 2 HCl

RN 470475-88-8 CAPLUS

Acetic acid, [[[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl] [3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

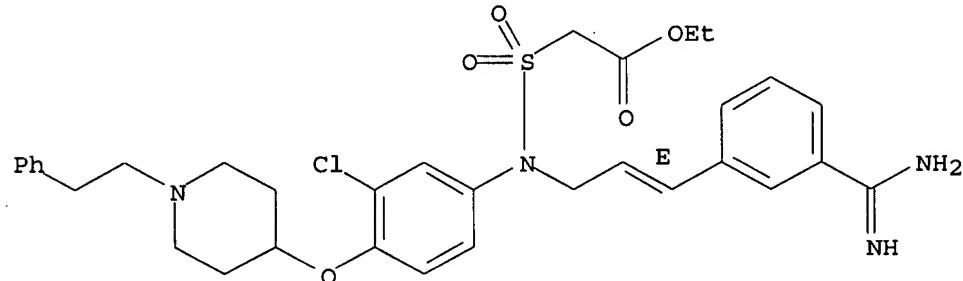


● 2 HCl

RN 470475-89-9 CAPLUS

CN Acetic acid, [[[2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

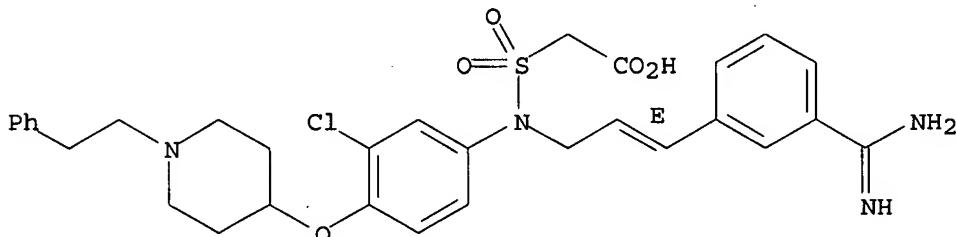


● 2 HCl

RN 470475-90-2 CAPLUS

CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

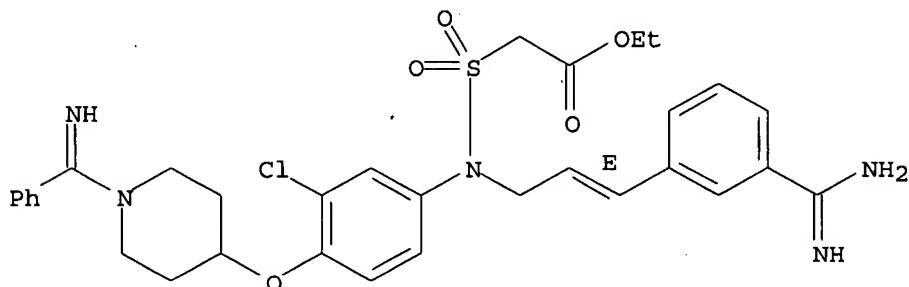


●2 HCl

RN 470476-29-0 CAPLUS

CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

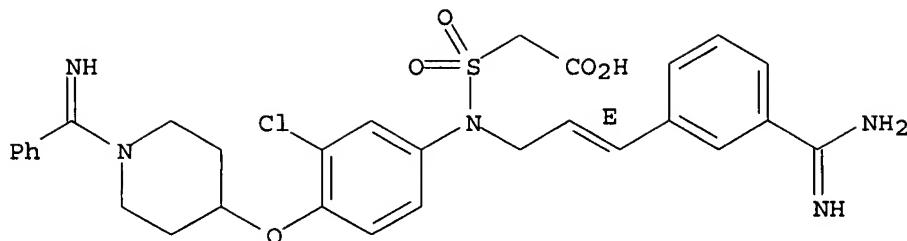


●2 HCl

RN 470476-30-3 CAPLUS

CN Acetic acid, [[[2E]-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

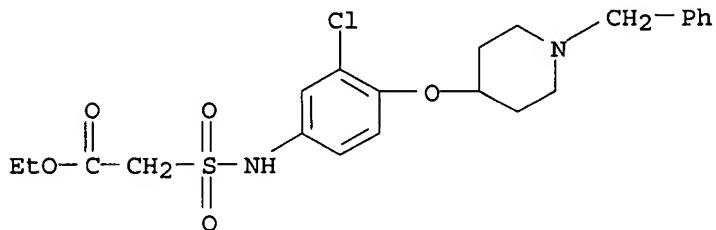
Double bond geometry as shown.



● 2 HCl

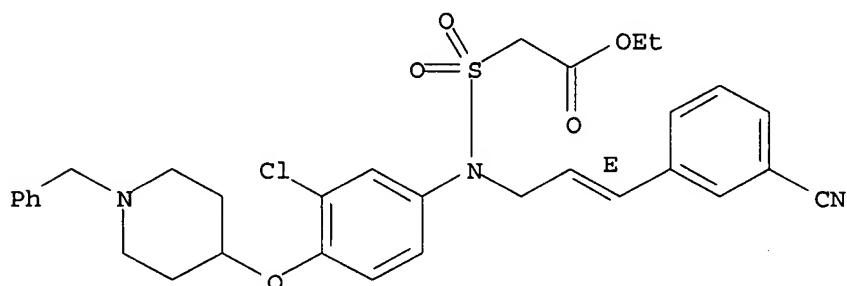
IT 470477-10-2P, N- [4-(1-Benzylpiperidin-4-ylloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester 470477-11-3P, N- [4-(1-Benzylpiperidin-4-ylloxy)-3-chlorophenyl]-N- [3-(3-cyanophenyl)-2-(E)-propenyl]sulfamoylacetic acid 470477-14-6P, N- [3-Chloro-4-(1-phenethylpiperidin-4-ylloxy)phenyl]sulfamoylacetic acid ethyl ester 470477-15-7P, N- [3-Chloro-4-(1-phenethylpiperidin-4-ylloxy)phenyl]-N- [3-(3-cyanophenyl)-2-(E)-propenyl]sulfamoylacetic acid ethyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor X)

RN 470477-10-2 CAPPLUS
 CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



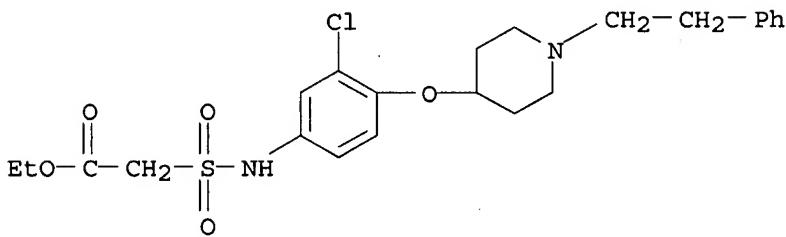
RN 470477-11-3 CAPPLUS
 CN Acetic acid, [[[3-chloro-4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 470477-14-6 CAPPLUS
 CN Acetic acid, [[[3-chloro-4-[[1-(2-phenylethyl)-4-

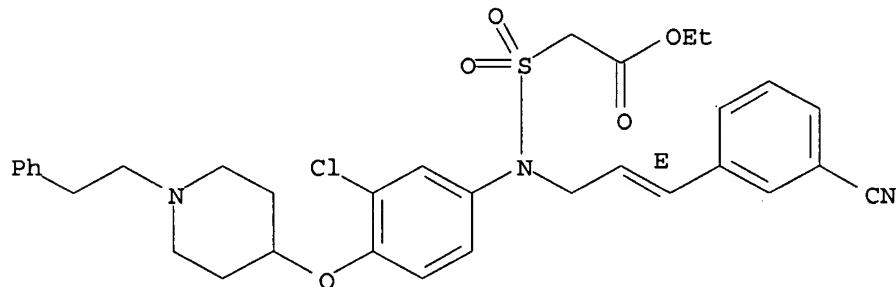
piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 470477-15-7 CAPLUS

CN Acetic acid, [[3-chloro-4-[(2E)-3-(3-cyanophenyl)-2-propenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:886068 CAPLUS

DN 136:20021

TI Piperidine derivatives useful in the modulation of CCR3 activity

IN Sanganee, Hitesh; Springthorpe, Brian

PA AstraZeneca AB, Swed.

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

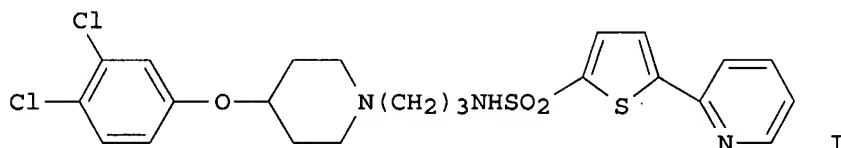
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001092227	A1	20011206	WO 2001-SE1298	20010530
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289956	A1	20030312	EP 2001-937121	20010530
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003535079	T	20031125	JP 2002-500842	20010530
	US 2003166652	A1	20030904	US 2002-296034	20021120

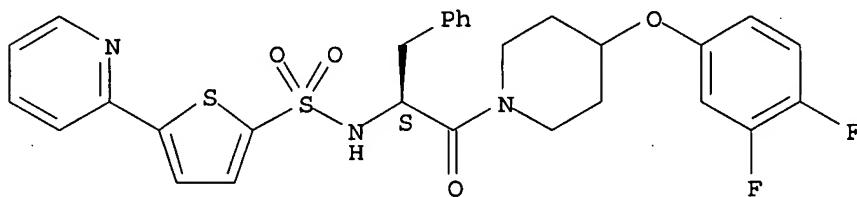
PRAI GB 2000-13060
WO 2001-SE1298
OS MARPAT 136:20021
GI

A 20000531
W 20010530



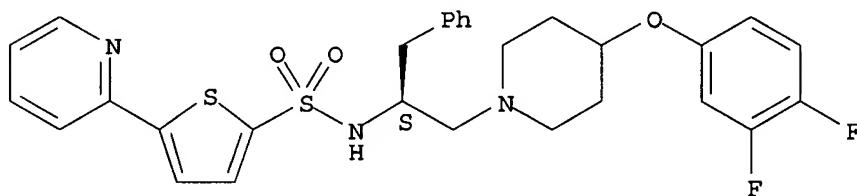
AB Piperidines such as I were prepared for modulation of CCR3 activity (no data). Thus, I was prepared starting from 4-(3,4-dichlorophenoxy)piperidine and tert-Bu (3-bromopropyl) carbamate.
IT 377741-09-8P 377741-10-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperidine derivs. useful in the modulation of CCR3 activity)
RN 377741-09-8 CAPLUS
CN Piperidine, 4-(3,4-difluorophenoxy)-1-[(2S)-1-oxo-3-phenyl-2-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]propyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 377741-10-1 CAPLUS
CN 2-Thiophenesulfonamide, N-[(1S)-1-[[4-(3,4-difluorophenoxy)-1-piperidinyl]methyl]-2-phenylethyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

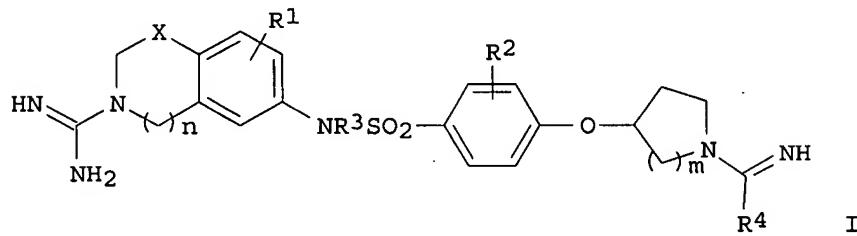


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:549271 CAPLUS
DN 131:184875
TI Preparation of benzenesulfonylaminoisouinolinecarboxamidines and related compounds as cardiovascular agents.
IN Grams, Frank; Kucznierz, Ralf; Leinert, Herbert; Stegmeier, Karlheinz; Von Der Saal, Wolfgang
PA Roche Diagnostics G.m.b.H., Germany
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9942462	A1	19990826	WO 1999-EP914	19990212
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 937723	A1	19990825	EP 1998-102750	19980218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AU 9931407	A	19990906	AU 1999-31407	19990212
	ZA 9901268	A	19990818	ZA 1999-1268	19990217
PRAI	EP 1998-102750	A	19980218		
	WO 1999-EP914	W	19990212		
OS	CASREACT 131:184875; MARPAT 131:184875				
GI					



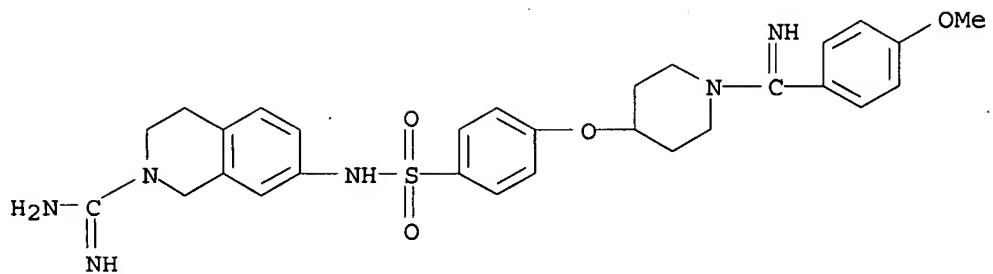
AB Title compds. [I; R1, R2 = H, halo, OH, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, arylcarbonyl, etc.; R4 = alkyl, cycloalkyl, (substituted) amino, aryl, heteroaryl; X = bond, CO, alkylene, alkyleneoxy; n = 1, 2; m = 1-4], were prepared. Thus, 7-[4-(1-carbamimidoylpiperidin-4-yl)oxy]benzenesulfonylamino]-3,4-dihydro-1H-isoquinoline-2-carboxamide dihydrochloride (multistep preparation from 7-nitro-1,2,3,4-tetrahydroisoquinoline hydrochloride given) at 500 μ M showed a thrombin time of 40 s.

IT 239451-96-8P 239452-08-5P 239452-20-1P
239452-31-4P 239452-43-8P 239452-55-2P
239452-67-6P 239452-79-0P 239452-91-6P
239453-03-3P 239453-15-7P 239453-27-1P
239453-40-8P 239453-49-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonylaminoisoquinolinecarboxamidines and related compds. as cardiovascular agents)

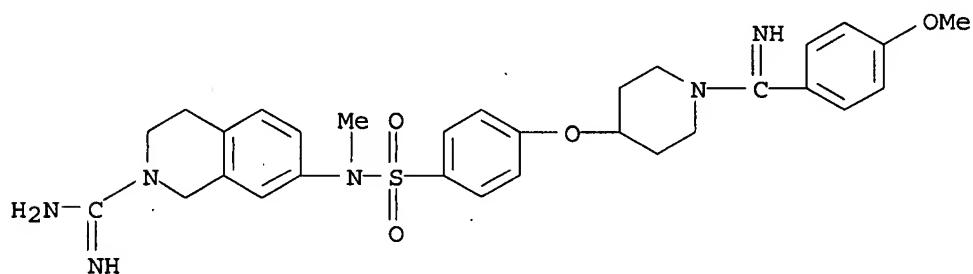
RN 239451-96-8 CAPLUS

CN 2-(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



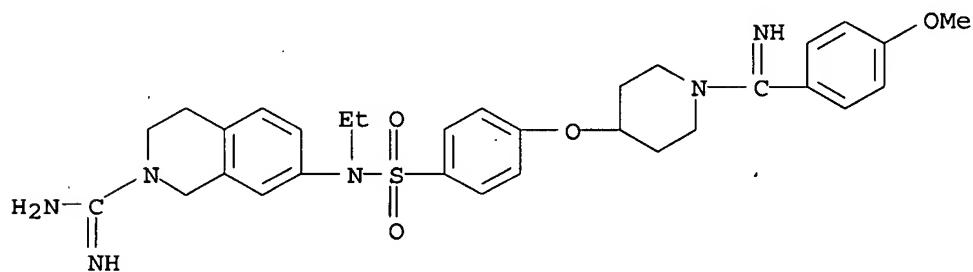
RN 239452-08-5 CAPLUS

CN 2 (1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]methylamino] (9CI) (CA INDEX NAME)



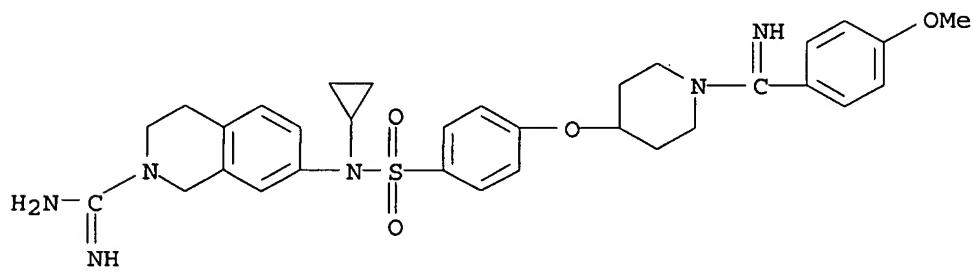
RN 239452-20-1 CAPLUS

CN 2 (1H)-Isoquinolinecarboximidamide, 7-[[ethyl[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-3,4-dihydro- (9CI) (CA INDEX NAME)



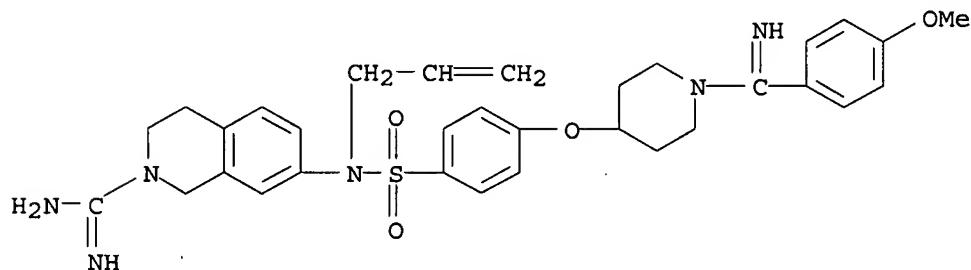
RN 239452-31-4 CAPLUS

CN 2 (1H)-Isoquinolinecarboximidamide, 7-[[cyclopropyl[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-3,4-dihydro- (9CI) (CA INDEX NAME)



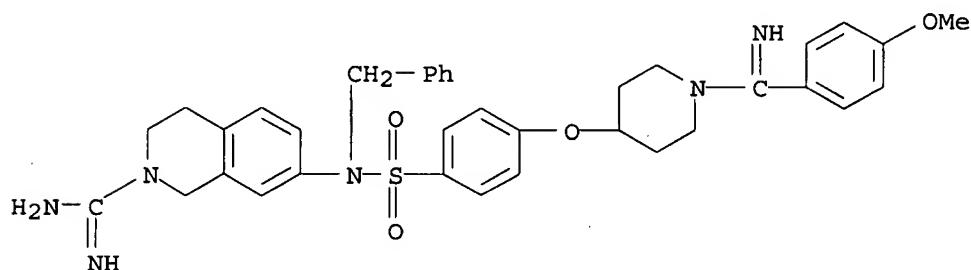
RN 239452-43-8 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-2-propenylamino]-(9CI) (CA INDEX NAME)



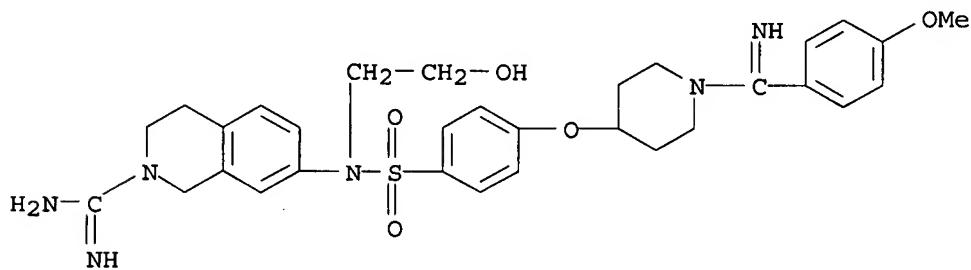
RN 239452-55-2 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl](phenylmethyl)amino]-(9CI) (CA INDEX NAME)



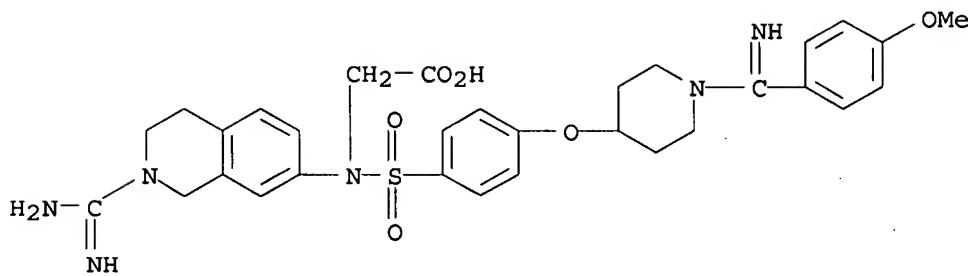
RN 239452-67-6 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, 3,4-dihydro-7-[(2-hydroxyethyl)[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]-(9CI) (CA INDEX NAME)



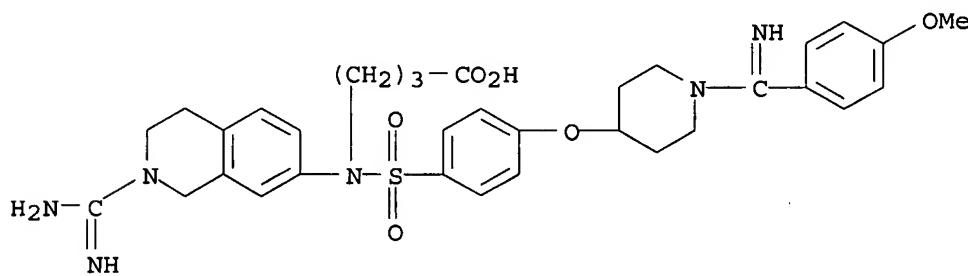
RN 239452-79-0 CAPLUS

CN Glycine, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isooquinolinyl]-N-[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-(9CI) (CA INDEX NAME)



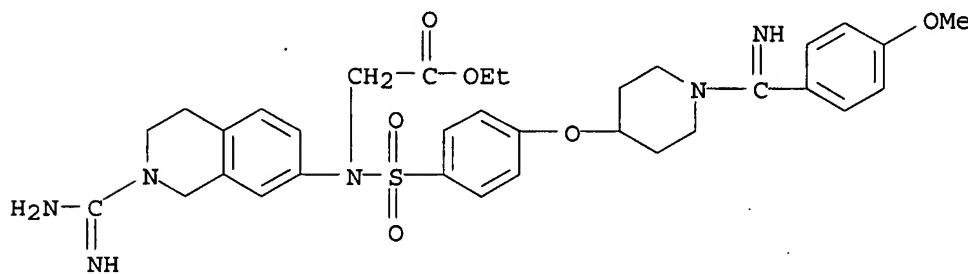
RN 239452-91-6 CAPLUS

CN Butanoic acid, 4-[(2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinoliny1)[[4-[(1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl)oxy]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



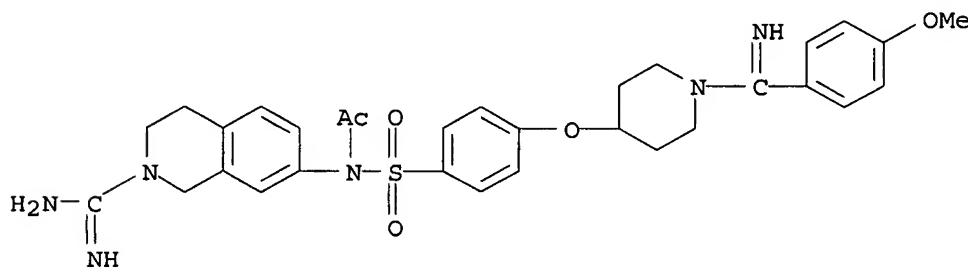
RN 239453-03-3 CAPLUS

CN Glycine, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinoliny1]-N-[[4-[(1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl)oxy]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



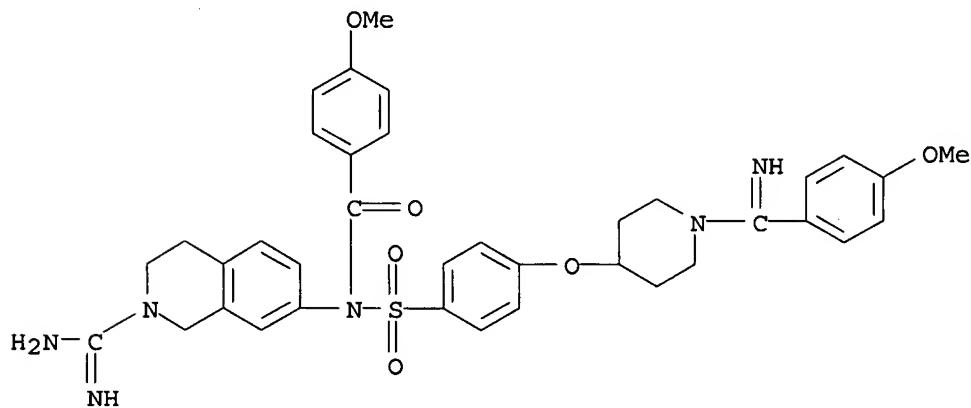
RN 239453-15-7 CAPLUS

CN Acetamide, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinoliny1]-N-[[4-[(1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl)oxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



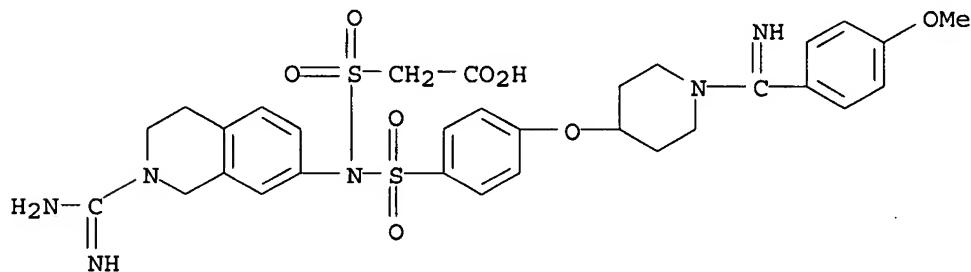
RN 239453-27-1 CAPLUS

CN Benzamide, N-[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-N-[[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]-4-methoxy- (9CI) (CA INDEX NAME)



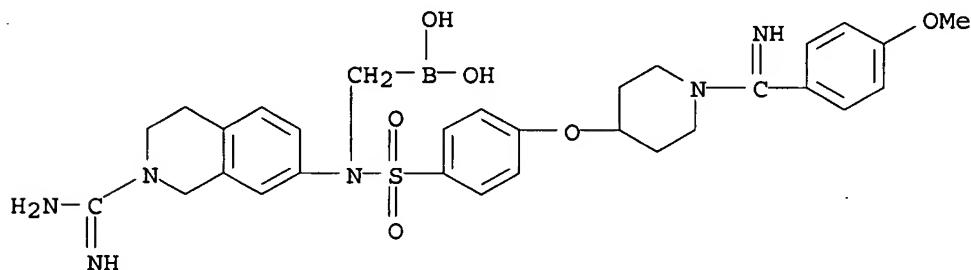
RN 239453-40-8 CAPLUS

CN Acetic acid, [[[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl] [[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



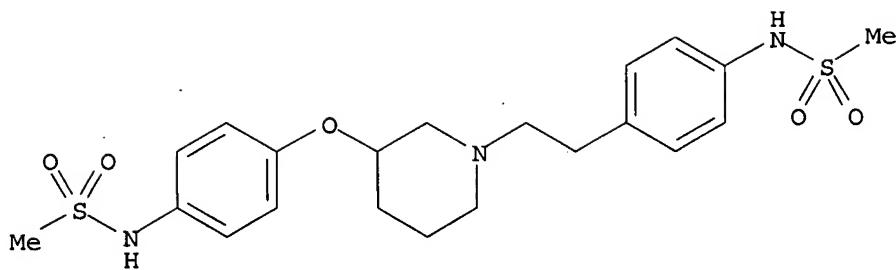
RN 239453-49-7 CAPLUS

CN Boronic acid, [[[2-(aminoiminomethyl)-1,2,3,4-tetrahydro-7-isoquinolinyl] [[4-[[1-[imino(4-methoxyphenyl)methyl]-4-piperidinyl]oxy]phenyl]sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

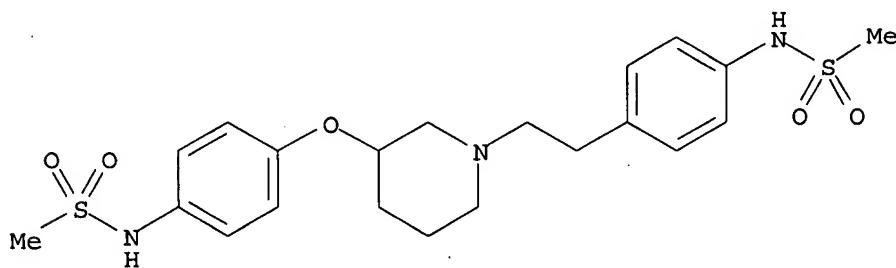
L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
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DN 123:198635



RN 167859-00-9 CAPLUS

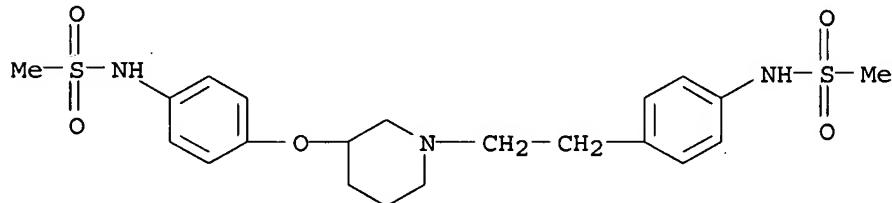
CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 167859-05-4 CAPLUS

CN Methanesulfonamide, N-[4-[2-[3-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 167859-10-1 CAPLUS

CN Methanesulfonamide, N-[4-[2-[4-[4-[(methylsulfonyl)amino]phenoxy]-1-piperidinyl]ethyl]phenyl]- (9CI) (CA INDEX NAME)

